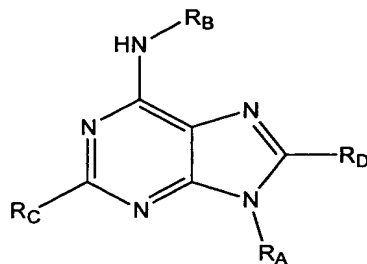


1. A compound having formula (I) comprising:



(I)

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wherein R_A is hydrogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; R_B is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; R_C and R_D are each independently hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, or $-ZR_E$, wherein Z is $-O-$, $-S-$, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, wherein in each of the foregoing groups each aliphatic, heteroaliphatic, alkylaryl, or alkylheteroaryl moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

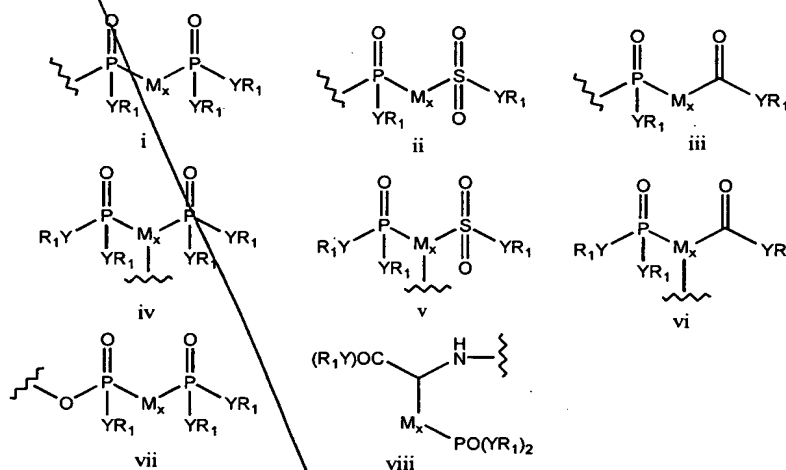
whereby at least one of R_A , R_B , R_C or R_D as defined above, is substituted by one or more phosphorus moieties,

with the proviso that R_D is not terminal functionality representing a cyano group, an amino group, an aminoalkyl group, an amidino, guanidino or guanidinoalkyl group, whereby said terminal functionality is attached to the purine scaffold through an alkylene or phenylene moiety, and

with the proviso that R_A is not an aliphatic or heteroaliphatic moiety substituted with one phosphorus containing moiety.

- 5 2. The compound of claim 1, wherein the one or more phosphorous moieties are each independently a group having the structure $-P(X)YR_GYR_H$, wherein X is independently an alkyl moiety, $=O$ or $=S$; R_G and R_H , for each occurrence, are independently hydrogen, or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and each occurrence of Y is
- 10 independently a covalent bond, $-O-$, $-S-$ or $N(R_J)_2$, wherein R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl;

or wherein the one or more phosphorus moieties are each independently a group having any one of structures i-viii:



15

wherein each occurrence of M is independently CV_2 , $-NV-$, $-O-$ or $-S-$, wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic; each occurrence of Y is independently a covalent bond, $-O-$, $-S-$ or $N(R_J)_2$, wherein

20 R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently an integer from 1-6; and each occurrence of R_1 is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable derivative.

25

3. The compound of claim 2, wherein any one of R_A , R_B , R_C or R_D are each independently substituted with one or more phosphorus moieties having the structure: $-P(X)YR_GYR_H$, wherein X is independently an alkyl moiety, $=O$ or $=S$, R_G and R_H ,

5 for each occurrence, are independently hydrogen, or substituted or unsubstituted
aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and each
occurrence of Y is independently a covalent bond, -O-, -S- or N(R_J)₂, wherein R_J, for
each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl,
heteroaryl, alkylaryl, or alkylheteroaryl; or is substituted with group having any one
10 of structures i-vii as defined above.

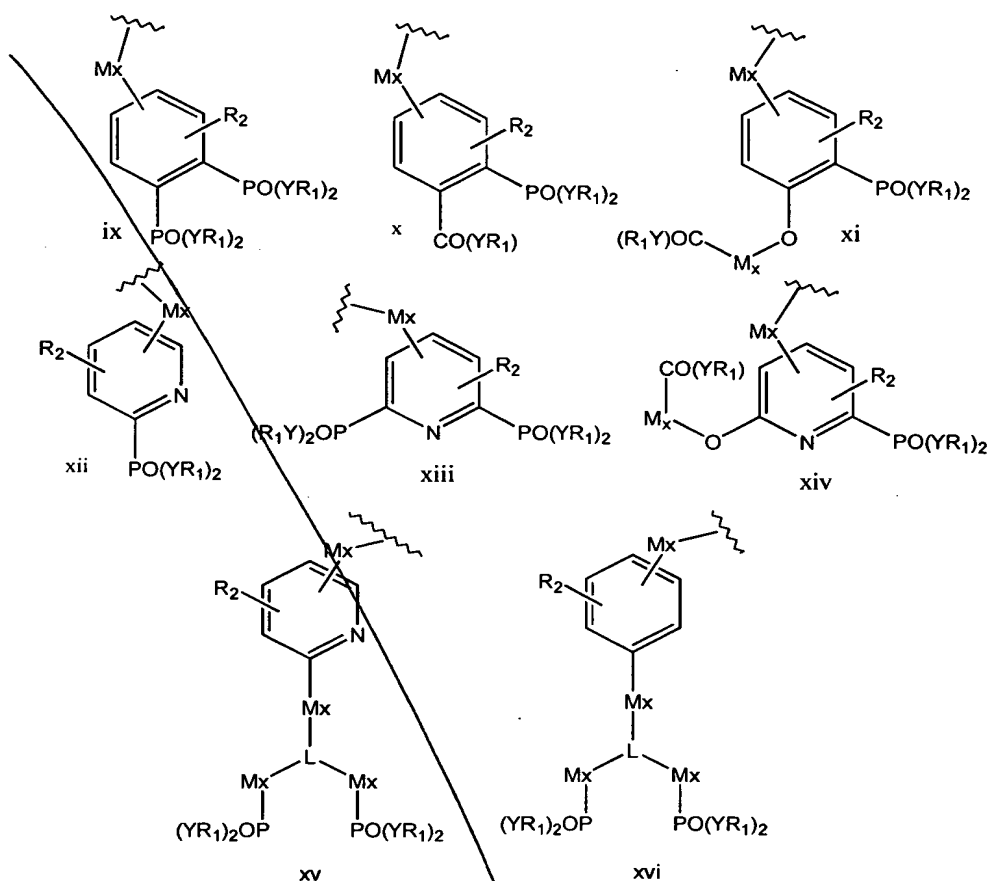
4. The compound of claim 2, wherein at least one occurrence of Y is O.

5. The compound of claim 2, wherein each occurrence of Y is O.

6. The compound of claim 2, wherein at least one of Y is a covalent bond.

7. The compound of claim 1 or 2, wherein any one of R_A, R_B, R_C or R_D, as
defined above, is additionally substituted with 0-3 substituents selected from the
group consisting of halogen, lower alkyl, lower alkenyl, aryl, heteroaryl, carbonyl,
thiocarbonyl, ketone, aldehyde, amino, acylamino, amido, amidino, cyano, nitro,
azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl, sulfonamido, phosphoryl,
phosphorothioate, phosphonate, phosphinate, -(CH₂)_palkyl, -(CH₂)_palkenyl, -
(CH₂)_palkynyl, -(CH₂)_paryl, -(CH₂)_paralkyl, -(CH₂)_pOH, -(CH₂)_pO-lower alkyl, -
25 (CH₂)_pO-lower alkenyl, -O(CH₂)_nR, -(CH₂)_pSH, -(CH₂)_pS-lower alkyl, -(CH₂)_pS-
lower alkenyl, -S(CH₂)_nR, -(CH₂)_pN(R)₂, -(CH₂)_pNR-lower alkyl, -(CH₂)_pNR-lower
alkenyl, -NR(CH₂)_nR, and protected forms of the above, wherein R represents,
independently for each occurrence, hydrogen, or substituted or unsubstituted aryl,
heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein each occurrence of p
30 independently represents an integer from 0-10.

8. The compound of claim 1, wherein any one of R_A, R_B, R_C or R_D is
independently a moiety having the structure ix-xvi:



5

wherein each occurrence of M is independently CV₂, -NV-, -O- or -S-,
 wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic;
 each occurrence of Y is independently a covalent bond, -O-, -S- or N(R₁)₂, wherein
 10 R₁, for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 1-6;
 wherein L is CW, wherein W is hydrogen, aliphatic, heteroaliphatic, or hydroxyl; and
 each occurrence of R₁ is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable
 15 derivative;

wherein each occurrence of R₂ independently represents from 0-3 substituents
 independently selected from the group consisting of halogen, lower alkyl, lower
 alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino,
 amido, amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl,
 20 sulfonamido, phosphoryl, phosphorothioate, phosphonate, phosphinate, -(CH₂)_palkyl,

5 ~~-(CH₂)_palkenyl, -(CH₂)_palkynyl, -(CH₂)_paryl, -(CH₂)_paralkyl, -(CH₂)_pOH, -(CH₂)_pO-~~
lower alkyl, ~~-(CH₂)_pO-lower alkenyl, -O(CH₂)_nR, -(CH₂)_pSH, -(CH₂)_pS-lower alkyl,~~
-~~(CH₂)_pS-lower alkenyl, -S(CH₂)_nR, -(CH₂)_pN(R)₂, -(CH₂)_pNR-lower alkyl, -~~
10 ~~(CH₂)_pNR-lower alkenyl, -NR(CH₂)_nR, and protected forms of the above, wherein R~~
represents, independently for each occurrence, hydrogen, or substituted or
unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein
each occurrence of p independently represents an integer from 0-10.

9. The compound of claim ~~1, 2, or 8~~, wherein R_D is hydrogen.

Sub C20 15 10. The compound of claim ~~1, 2, or 8~~, wherein R_C is -NHR_E.

11. The compound of claim ~~1, 2, or 8~~, wherein R_C is -NHR_E, and R_D is hydrogen.

12. The compound of claim ~~1, 2, or 8~~, wherein R_D is not hydrogen.

20 13. The compound of claim ~~1, 2, or 8~~, wherein R_C is not hydrogen.

14. The compound of claim ~~1, 2, or 8~~, wherein R_C is not -NHR_E.

Sub C20 25 15. The compound of claim ~~1, 2, or 8~~, wherein R_C is not -NHR_E and R_D is not hydrogen.

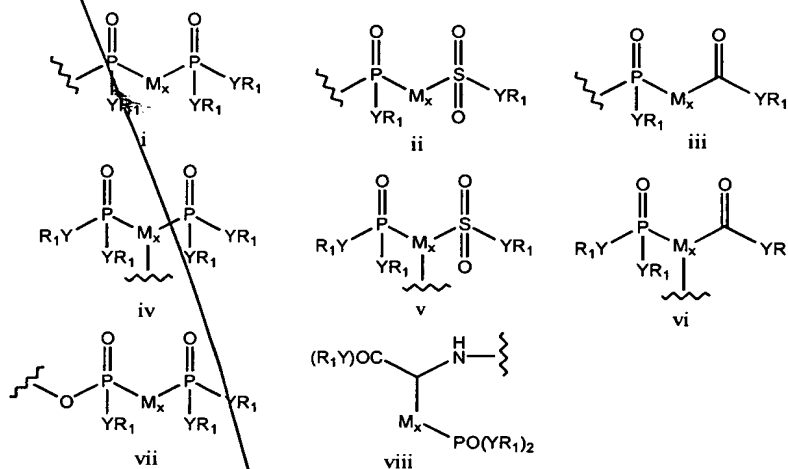
16. The compound of claim ~~1, 2, or 8~~, wherein any one of R_B, R_C, or R_D as defined above is substituted by one or more phosphorus moieties.

30 17. The compound of claim ~~1, 2, or 8~~, wherein any one of R_A, R_B, R_C or R_D is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety substituted by one or more phosphorus moieties.

5 18. The compound of claim 1, 2, or 8, wherein when R_A is an aliphatic or heteroaliphatic moiety substituted by one of more phosphorus moieties, R_B is a substituted or unsubstituted aryl, heteroaryl, alkylaryl or alkylheteroaryl moiety.

10 19. The compound of claim 1 or 2, wherein R_B is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety substituted by one or more phosphorus moieties.

20. The compound of claim 19, wherein R_B is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety bearing one or more phosphorus moieties of formula i through viii:

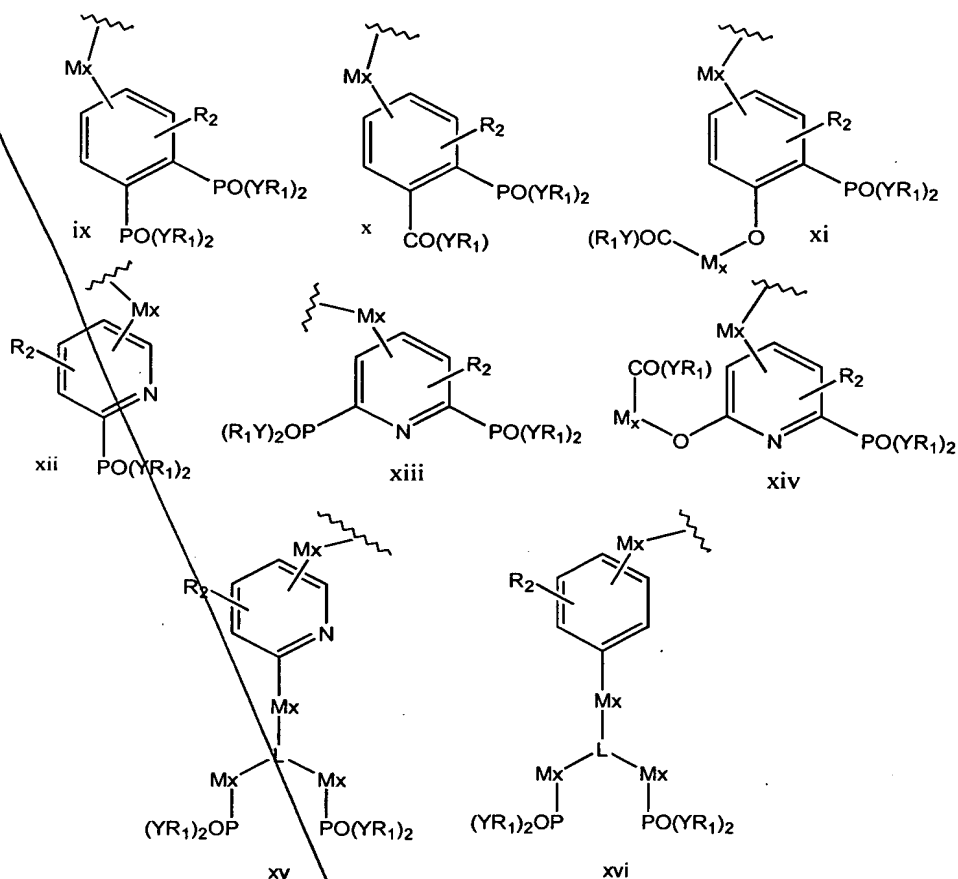


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wherein each occurrence of M is independently CV_2 , $-NV-$, $-O-$ or $-S-$, wherein each occurrence of V is independently hydrogen, OH , halogen, or aliphatic; each occurrence of Y is independently a covalent bond, $-O-$, $-S-$ or $N(R_J)_2$, wherein R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 1 or 2; and each occurrence of R_1 is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable derivative.

25

21. The compound of claim 19, wherein R_B is any one of structures ix-xvi:



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- wherein each occurrence of M is independently CV_2 , $-NV-$, $-O-$ or $-S-$,
 wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic;
 each occurrence of Y is independently a covalent bond, $-O-$, $-S-$ or $N(R_J)_2$, wherein
 R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 0-6,
 and in certain embodiments is 1-2; wherein L is CW, wherein W is hydrogen,
 aliphatic, heteroaliphatic, or hydroxyl; and each occurrence of R_1 is independently
 hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a
 prodrug or pharmaceutically acceptable derivative; and
- 15 wherein each occurrence of R_2 independently represents from 0-3 substituents
 independently selected from the group consisting of halogen, lower alkyl, lower
 alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino,
 amido, amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl,
 sulfonamido, phosphoryl, phosphorothioate, phosphonate, phosphinate, $-(CH_2)_p$ alkyl,

5 ~~-(CH₂)_palkenyl, -(CH₂)_palkynyl, -(CH₂)_paryl, -(CH₂)_palkyl, -(CH₂)_pOH, -(CH₂)_pO-~~
~~lower alkyl, -(CH₂)_pO-lower alkenyl, -O(CH₂)_nR, -(CH₂)_pSH, -(CH₂)_pS-lower alkyl, -~~
~~-(CH₂)_pS-lower alkenyl, -S(CH₂)_nR, -(CH₂)_pN(R)₂, -(CH₂)_pNR-lower alkyl, -~~
~~(CH₂)_pNR-lower alkenyl, -NR(CH₂)_pR, and protected forms of the above, wherein R~~
~~represents, independently for each occurrence, hydrogen, or substituted or~~
10 ~~unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein~~
~~each occurrence of p independently represents an integer from 0-10.~~

22. The compound of claim 19, wherein at least one occurrence of Y is O.

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C20 15 23. The compound of claim 19, wherein each occurrence of Y is O.

24. The compound of claim 19, wherein at least one of Y is a covalent bond.

25. The compound of claim 19, wherein R_B is an aryl, heteroaryl, alkylaryl, or
20 alkylheteroaryl moiety bearing one or more phosphorus moieties having the structure
-P(O)YR_GYR_H, wherein R_G and R_H, for each occurrence, are independently hydrogen,
or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or
alkylheteroaryl, and each occurrence of Y is independently a covalent bond, -O-, -S-
or N(R_J)₂, wherein R_J, for each occurrence, is independently hydrogen, aliphatic,
25 heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl

26. The compound of claim 19, wherein the aryl, heteroaryl, alkylaryl,
alkylheteroaryl moiety is further substituted with 0-3 substituents selected from the
group consisting of halogen, lower alkyl, lower alkenyl, aryl, heteroaryl, carbonyl,
30 thiocarbonyl, ketone, aldehyde, amino, acylamino, amido, amidino, cyano, nitro,
azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl, sulfonamido, phosphoryl,
phosphorothioate, phosphonate, phosphinate, -(CH₂)_palkyl, -(CH₂)_palkenyl, -
(CH₂)_palkynyl, -(CH₂)_paryl, -(CH₂)_palkyl, -(CH₂)_pOH, -(CH₂)_pO-lower alkyl, -
(CH₂)_pO-lower alkenyl, -O(CH₂)_nR, -(CH₂)_pSH, -(CH₂)_pS-lower alkyl, -(CH₂)_pS-
35 lower alkenyl, -S(CH₂)_nR, -(CH₂)_pN(R)₂, -(CH₂)_pNR-lower alkyl, -(CH₂)_pNR-lower

5 alkenyl, $-NR(CH_2)_nR$, and protected forms of the above, wherein R represents, independently for each occurrence, hydrogen, or substituted or unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein each occurrence of p independently represents an integer from 0-10.

10 27. The compound of claim 20, wherein R_B is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety substituted with a phosphorus moiety of formula i or iv.

28. The compound of claim 20 or 25, wherein R_1 is hydrogen.

15 29. The compound of claim 20 or 25, wherein R_D is hydrogen.

20 30. The compound of claim 20 or 25, wherein R_C is $-ZR_E$, and Z is $-NR_F$, wherein R_E is hydrogen or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and R_F is hydrogen or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

25 31. The compound of claim 30, wherein the aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, and alkylheteroaryl moieties are further substituted by one or more substituents selected from the group consisting of alkyl, aryl, heteroalkyl, heteroaryl, hydroxy, acyloxy, thio, or substituted or unsubstituted amino.

30 32. The compound of claim 20 or 25, wherein R_C is $-ZR_E$, and Z is NR_F , wherein R_E is hydrogen and R_F is a branched or unbranched cyclic or acyclic aliphatic moiety substituted with one or more hydroxy or acyloxy moieties.

35 33. The compound of claim 32, wherein said aliphatic moiety substituted with one or more hydroxy or acyloxy moieties is selected from the group consisting of $-(CH)(CHCH_3CH_3)CH_2OH$, $-(CH_2)_nOH$, $(CH_2)_nOAc$ and $-CH(CH_2OH)(CH_2OH)$, wherein n is 1-5.

5 34. The compound of claim 20 or 25, wherein R_C is $-ZR_E$, and Z is NR_F , wherein R_E is hydrogen, and R_F is a branched or unbranched, cyclic or acyclic aliphatic moiety substituted with one or more substituted or unsubstituted amino groups.

10 35. The compound of claim 34, wherein said one or more substituted or unsubstituted amino groups are each independently selected from the group consisting of NH_2 , NR_KH , NR_KR_L , $-(CH_2)_nNH_2$; $-(CH_2)_nNR_GH$; and $-(CH_2)_nNR_LR_M$, wherein R_K , R_L and R_M are each independently a substituted or unsubstituted, branched or unbranched aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety.

15 36. The compound of claim 30, wherein R_C is an amino group substituted with an alkyl moiety.

20 37. The compound of claim 36, wherein the alkyl moiety is methyl, ethyl, propyl, butyl, pentyl, hexyl, cyclopentyl, or cyclohexyl.

38. The compound of claim 30, wherein R_C is an amino group substituted with one or more pyrazolyl groups.

25 39. The compound of claim 30, wherein R_C is an amino group substituted with one or more 5- or 6-membered rings substituted with one or two O, N or S atoms, or any combination thereof.

30 40. The compound of claim 20 or 25, wherein R_C is $-ZR_E$, and Z is $-O-$; and R_E is a branched or unbranched, cyclic or acyclic, substituted or unsubstituted alkyl or heteroalkyl moiety; or is a substituted or unsubstituted aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety.

35 41. The compound of claim 40, wherein said alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety is further substituted with one or more groups

5 selected from the group consisting of halogen, branched or unbranched alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

42. The compound of claim 40, wherein R_C is a substituted or unsubstituted methoxy, ethoxy, propyloxy, butyloxy, or pentyloxy group.

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43. The compound of claim 40, wherein R_C is an alkoxy moiety further substituted with a substituted or unsubstituted amino, heteroalkyl or heteroaryl moiety, wherein said heteroalkyl moiety or amino moiety is cyclic or acyclic.

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44. The compound of claim 43, wherein R_C is an alkoxy moiety substituted with a pyrazolyl moiety.

45. The compound of claim 43, wherein R_C is an alkoxy moiety substituted with a pyridyl moiety.

20

46. The compound of claim 20 or 25, wherein R_C is $-ZR_E$, and Z is -S-; and R_E is a branched or unbranched, cyclic or acyclic, substituted or unsubstituted alkyl or heteroalkyl moiety; or is a substituted or unsubstituted aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety.

25

47. The compound of claim 46, wherein said alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety is further substituted with one or more groups selected from the group consisting of halogen, branched or unbranched alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

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48. The compound of claim 46, wherein R_C is a substituted or unsubstituted methylthio, ethylthio, propylthio, butylthio, or pentylthio.

49. The compound of claim 46, wherein R_C is an thioalkyl moiety further substituted with an amino, heteroalkyl or heteroaryl moiety.

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5 50. The compound of claim 49, wherein R_C is an thioalkyl moiety substituted with a pyrazolyl moiety.

51. The compound of claim 49, wherein R_C is an thioalkyl moiety substituted with a pyridyl moiety.

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52. The compound of claim 20 or 25, wherein R_A is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety optionally substituted with one or more hydroxyl moieties.

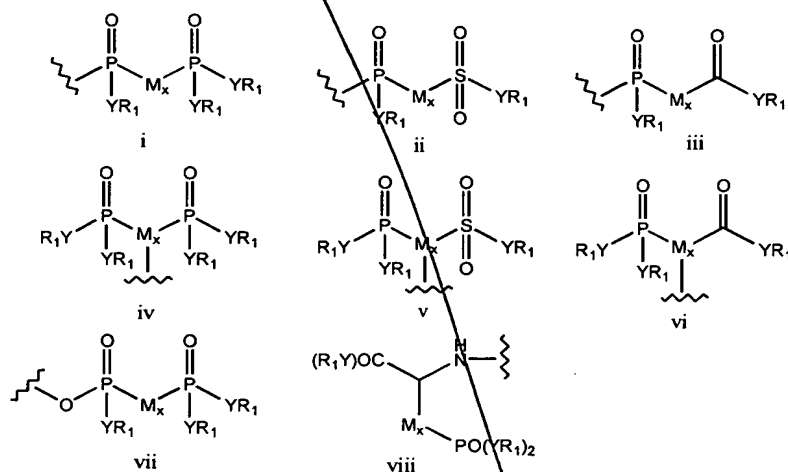
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53. The compound of claim 20 or 25, wherein R_A is a substituted or unsubstituted branched or unbranched, cyclic or acyclic aliphatic or heteroaliphatic moiety optionally substituted by one or more hydroxyl moieties.

20

54. The compound of claim 1 or 2, wherein R_B is a cyclic or acyclic aliphatic or heteroaliphatic moiety substituted by at least one phosphorus moiety.

55. The compound of claim 54, wherein R_B is a cyclic or acyclic aliphatic or heteroaliphatic moiety substituted with one or more phosphorus moieties of formula i through viii:



25

wherein each occurrence of M is independently CH_2 , CHV , $CHOH$, or CV_2 ; each occurrence of Y is independently a covalent bond, $-O-$, $-S-$ or $N(R_J)_2$, wherein

5 R_j , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl; wherein V is a halogen; each occurrence of x is independently 1 or 2; and each occurrence of R_1 is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable derivative.

10

56. The compound of claim 55, wherein at least one occurrence of Y is O.

57. The compound of claim 55, wherein each occurrence of Y is O.

15

58. The compound of claim 55, wherein at least one of Y is a covalent bond.

20

59. The compound of claim 19, wherein R_B is a cyclic or acyclic aliphatic or heteroaliphatic moiety substituted with one or more phosphorus moieties having the structure $-P(O)YR_GYR_H$, wherein R_G and R_H , for each occurrence, are independently hydrogen, or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and each occurrence of Y is independently a covalent bond, -O-, -S- or $N(R_1)_2$, wherein R_1 , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

25

60. The compound of claim 55 or 59, wherein the cyclic or acyclic aliphatic or heteroaliphatic moiety is further substituted with 0-3 substituents selected from the group consisting of halogen, lower alkyl, lower alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino, amido, amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl, sulfonamido, phosphoryl, phosphorothioate, phosphonate, phosphinate, $-(CH_2)_p$ alkyl, $-(CH_2)_p$ alkenyl, -

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$(CH_2)_p$ alkynyl, $-(CH_2)_p$ aryl, $-(CH_2)_p$ aralkyl, $-(CH_2)_p$ OH, $-(CH_2)_p$ O-lower alkyl, $-(CH_2)_p$ O-lower alkenyl, $-O(CH_2)_nR$, $-(CH_2)_p$ SH, $-(CH_2)_p$ S-lower alkyl, $-(CH_2)_p$ S-lower alkenyl, $-S(CH_2)_nR$, $-(CH_2)_pN(R)_2$, $-(CH_2)_p$ NR-lower alkyl, $-(CH_2)_p$ NR-lower alkenyl, $-NR(CH_2)_nR$, and protected forms of the above, wherein R represents,

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independently for each occurrence, hydrogen, or substituted or unsubstituted aryl,

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5 heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein each occurrence of p independently represents an integer from 0-10.

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61. The compound of claim 55, wherein R_B is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety substituted with a phosphorus moiety of formula i or iv.

10

62. The compound of claim 55 or 59, wherein R₁ is hydrogen.

63. The compound of claim 55 or 59, wherein R_D is hydrogen.

15 64. The compound of claim 55 or 59, wherein R_C is -ZR_E, and Z is -NR_F, wherein R_E is hydrogen or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and R_F is hydrogen or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

20 65. The compound of claim 64, wherein the aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, and alkylheteroaryl moieties are further substituted by one or more substituents selected from the group consisting of alkyl, aryl, heteroalkyl, heteroaryl, hydroxy, acyloxy, thio, or substituted or unsubstituted amino.

25 66. The compound of claim 55 or 59, wherein R_C is -ZR_E, and Z is NR_F, wherein R_E is hydrogen and R_F is a branched or unbranched cyclic or acyclic aliphatic moiety substituted with one or more hydroxy or acyloxy moieties.

30 67. The compound of claim 66, wherein said aliphatic moiety substituted with one or more hydroxy or acyloxy moieties is selected from the group consisting of -(CH)(CHCH₃CH₃)CH₂OH, -(CH₂)_nOH, (CH₂)_nOAc and -CH(CH₂OH)(CH₂OH), wherein n is 1-5.

35 68. The compound of claim 55 or 59, wherein R_C is -ZR_E, and Z is NR_F, wherein R_E is hydrogen, and R_F is a branched or unbranched, cyclic or acyclic aliphatic moiety substituted with one or more substituted or unsubstituted amino groups.

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5 76. The compound of claim 74, wherein R_C is a substituted or unsubstituted methoxy, ethoxy, propyloxy, butyloxy, or pentyloxy group.

77. The compound of claim 74, wherein R_C is an alkoxy moiety further substituted with a substituted or unsubstituted amino, heteroalkyl or heteroaryl moiety, wherein
10 said heteroalkyl moiety or amino moiety is cyclic or acyclic.

78. The compound of claim 77, wherein R_C is an alkoxy moiety substituted with a pyrazolyl moiety.

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15 79. The compound of claim 77, wherein R_C is an alkoxy moiety substituted with a pyridyl moiety.

80. The compound of claim 55 or 59, wherein R_C is -ZR_E, and Z is -S-; and R_E is a branched or unbranched, cyclic or acyclic, substituted or unsubstituted alkyl or
20 heteroalkyl moiety; or is a substituted or unsubstituted aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety.

81. The compound of claim 80, wherein said alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety is further substituted with one or more groups
25 selected from the group consisting of halogen, branched or unbranched alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

82. The compound of claim 80, wherein R_C is a substituted or unsubstituted methylthio, ethylthio, propylthio, butylthio, or pentylthio.

30 83. The compound of claim 80, wherein R_C is an thioalkyl moiety further substituted with an amino, heteroalkyl or heteroaryl moiety.

84. The compound of claim 80, wherein R_C is an thioalkyl moiety substituted with
35 a pyrazolyl moiety.

5 85. The compound of claim 80, wherein R_C is an thioalkyl moiety substituted with a pyridyl moiety.

86. The compound of claim 55 or 59, wherein R_A is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety optionally substituted with one or more hydroxyl moieties.

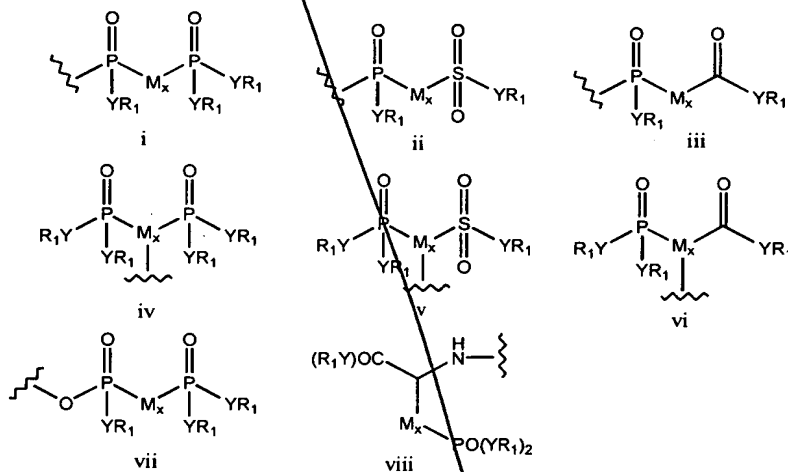
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87. The compound of claim 55 or 59, wherein R_A is a substituted or unsubstituted branched or unbranched, cyclic or acyclic aliphatic or heteroaliphatic moiety optionally substituted by one of more hydroxyl moieties.

15 88. The compound of claim 1 or 2, wherein R_C is aryl, heteroaryl, alkylaryl, alkylheteroaryl or $-ZR_E$, wherein Z is -O-, -S-, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, whereby at least one of R_E or R_F represents an aryl, heteroaryl, alkylaryl, or
20 alkylheteroaryl moiety;

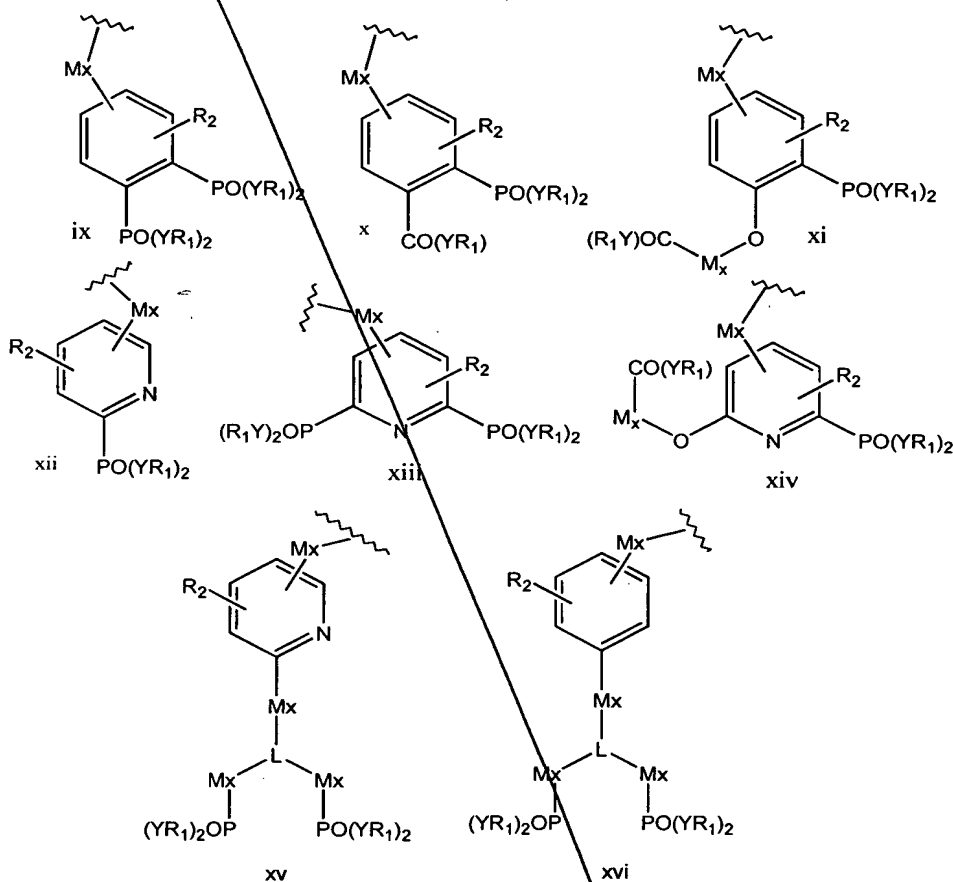
whereby at least one of said aryl, heteroaryl, alkylaryl, or alkylheteroaryl moieties described above is substituted by at least one phosphorus moiety.

89. The compound of claim 88, wherein R_C is a moiety as described above bearing
25 one or more phosphorus moieties of formula i through viii:



5 wherein each occurrence of M is independently CV_2 , -NV-, -O- or -S-,
 wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic;
 each occurrence of Y is independently a covalent bond, -O-, -S- or $N(R_J)_2$, wherein
 R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 1 or 2;
 10 and each occurrence of R_1 is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable
 derivative.

90. The compound of claim 88, wherein R_C is any one of structures ix-xvi:



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wherein each occurrence of M is independently CV_2 , -NV-, -O- or -S-,
 wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic;
 each occurrence of Y is independently a covalent bond, -O-, -S- or $N(R_J)_2$, wherein
 R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 0-6,
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5 and in certain embodiments is 1-2; wherein L is CW, wherein W is hydrogen, aliphatic, heteroaliphatic, or hydroxyl; and each occurrence of R_1 is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable derivative; and

wherein each occurrence of R_2 independently represents from 0-3 substituents
10 independently selected from the group consisting of halogen, lower alkyl, lower alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino, amido, amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl, sulfonamido, phosphoryl, phosphorothioate, phosphonate, phosphinate, $-(CH_2)_p$ alkyl, $-(CH_2)_p$ alkenyl, $-(CH_2)_p$ alkynyl, $-(CH_2)_p$ aryl, $-(CH_2)_p$ aralkyl, $-(CH_2)_p$ OH, $-(CH_2)_p$ O-
15 lower alkyl, $-(CH_2)_p$ O-lower alkenyl, $-O(CH_2)_pR$, $-(CH_2)_pSH$, $-(CH_2)_pS$ -lower alkyl, $-(CH_2)_pS$ -lower alkenyl, $-S(CH_2)_nR$, $-(CH_2)_pN(R)_2$, $-(CH_2)_pNR$ -lower alkyl, $-(CH_2)_pNR$ -lower alkenyl, $-NR(CH_2)_pR$, and protected forms of the above, wherein R represents, independently for each occurrence, hydrogen, or substituted or unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein
20 each occurrence of p independently represents an integer from 0-10.

91. The compound of claim 89 or claim 90, wherein at least one occurrence of Y is O.

25 92. The compound of claim 89 or claim 90, wherein each occurrence of Y is O.

93. The compound of claim 89 or claim 90, wherein at least one of Y is a covalent bond.

30 94. The compound of claim 88, wherein R_C is a moiety as described above bearing one or more phosphorus moieties having the structure $-P(O)YR_GYR_H$, wherein R_G and R_H , for each occurrence, are independently hydrogen, or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and each occurrence of Y is independently a covalent bond, $-O-$, $-S-$ or $N(R_J)_2$, wherein R_J , for

5 each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

95. The compound of claim 89 or 94, wherein R_C is $-ZR_E$, wherein Z is $-O-$, $-S-$, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, whereby at least one of R_E or R_F represents an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, whereby at least one of said aryl, heteroaryl, alkylaryl, or alkylheteroaryl moieties is substituted by at least one phosphorus moiety.

15 96. The compound of claim 89 or 94, wherein the aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety is further substituted with 0-3 substituents selected from the group consisting of halogen, lower alkyl, lower alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino, amido, amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl, sulfonamido, phosphoryl, phosphorothioate, phosphorothicite, phosphonate, phosphinate, $-(CH_2)_p$ alkyl, $-(CH_2)_p$ alkenyl, $-(CH_2)_p$ alkynyl, $-(CH_2)_p$ aryl, $-(CH_2)_p$ aralkyl, $-(CH_2)_p$ OH, $-(CH_2)_p$ O-lower alkyl, $-(CH_2)_p$ O-lower alkenyl, $-O(CH_2)_nR$, $-(CH_2)_pSH$, $-(CH_2)_pS$ -lower alkyl, $-(CH_2)_pS$ -lower alkenyl, $-S(CH_2)_nR$, $-(CH_2)_pN(R)_2$, $-(CH_2)_pNR$ -lower alkyl, $-(CH_2)_pNR$ -lower alkenyl, $-NR(CH_2)_nR$, and protected forms of the above, wherein R represents, independently for each occurrence, hydrogen, or substituted or unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein each occurrence of p independently represents an integer from 0-10.

30 97. The compound of claim 89, wherein R_C is substituted with at least one phosphorus moiety of formula i or iv..

98. The compound of claim 89 or 94, wherein R_1 is hydrogen.

35 99. The compound of claim 89 or 94, wherein R_D is hydrogen.

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100. The compound of claim 89 or 94, wherein R_B is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl optionally substituted with one or more halogen groups.

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101. The compound of claim 100, wherein the halogen is chlorine.

102. The compound of claim 100, wherein R_B is phenyl.

103. The compound of claim 89 or 94, wherein R_A is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety optionally substituted with one or more hydroxyl moieties.

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104. The compound of claim 89 or 94, wherein R_A is a substituted or unsubstituted branched or unbranched, cyclic or acyclic aliphatic or heteroaliphatic moiety optionally substituted by one or more hydroxyl moieties.

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105. The compound of claim 89 or 94, wherein R_A is a branched or unbranched, cyclic or acyclic aliphatic or heteroaliphatic moiety.

106. The compound of claim 105, wherein R_A is $\text{CH}(\text{CH}_3)_2$, Me, cyclopentyl or cyclohexyl.

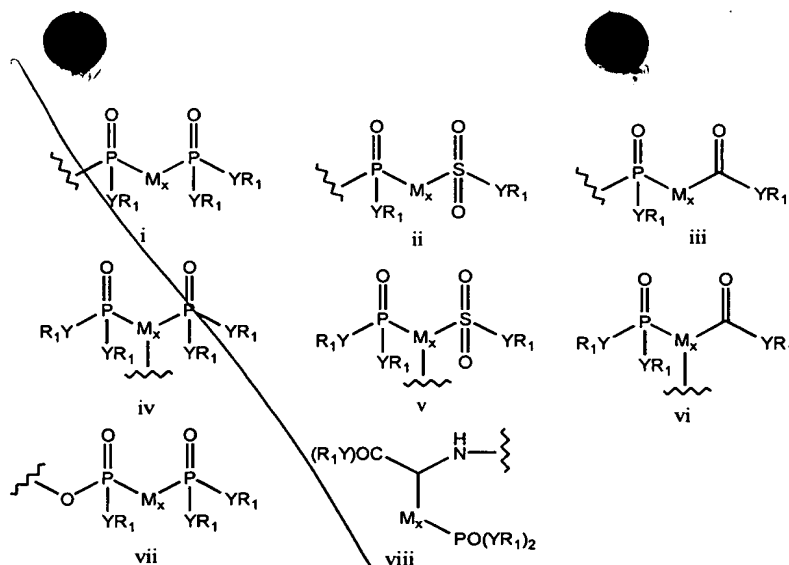
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107. The compound of claim 1 or 2, wherein R_C is cyclic or acyclic aliphatic or heteroaliphatic, or $-\text{Z}R_E$, wherein Z is $-\text{O}-$, $-\text{S}-$, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, whereby at least one of R_E or R_F represents a cyclic or acyclic aliphatic or heteroaliphatic moiety.

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108. The compound of claim 1, wherein R_C is defined as above, and wherein one or more of said cyclic or acyclic aliphatic or heteroaliphatic moieties described above is substituted with one or more phosphorus moieties having the structure:

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wherein each occurrence of M is independently CV_2 , -NV-, -O- or -S-,
 wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic;
 each occurrence of Y is independently a covalent bond, -O-, -S- or $N(R_J)_2$, wherein
 10 R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 1 or 2;
 and each occurrence of R_1 is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable
 derivative.

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109. The compound of claim 108, wherein at least one occurrence of Y is O.

110. The compound of claim 108, wherein each occurrence of Y is O.

111. The compound of claim 2, wherein at least one of Y is a covalent bond.

112. The compound of claim 1, wherein R_C is defined as above, and wherein one or
 more of said cyclic or acyclic aliphatic or heteroaliphatic moieties described above is
 substituted with one or more phosphorus moieties having the structure: -

25 $P(O)YR_GYR_H$, wherein R_G and R_H , for each occurrence, are independently hydrogen,
 or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or
 alkylheteroaryl, and each occurrence of Y is independently a covalent bond, -O-, -S-

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5 or $N(R_J)_2$, wherein R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

Sub B2
10 113. The compound of claim 108 or 112, wherein R_3 is $-ZR_E$, wherein Z is $-O-$, $-S-$, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, whereby at least one of R_E or R_F represents an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, whereby at least one of said aryl, heteroaryl, alkylaryl, or alkylheteroaryl moieties is substituted by at least one phosphorus moiety.

15 114. The compound of claim 108 or 112, wherein the one or more cyclic or acyclic aliphatic or heteroaliphatic moieties are further substituted with 0-3 substituents selected from the group consisting of halogen, lower alkyl, lower alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino, amido, 20 amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl, sulfonamido, phosphoryl, phosphorothioate, phosphorothic acid, phosphonate, phosphinate, $-(CH_2)_palkyl$, $-(CH_2)_palkenyl$, $-(CH_2)_palkynyl$, $-(CH_2)_paryl$, $-(CH_2)_paralkyl$, $-(CH_2)_pOH$, $-(CH_2)_pO$ -lower alkyl, $-(CH_2)_pO$ -lower alkenyl, $-O(CH_2)_nR$, $-(CH_2)_pSH$, $-(CH_2)_pS$ -lower alkyl, $-(CH_2)_pS$ -lower alkenyl, $-S(CH_2)_nR$, $-(CH_2)_pN(R)_2$, $-(CH_2)_pNR$ -lower alkyl, $-(CH_2)_pNR$ -lower alkenyl, $-NR(CH_2)_nR$, and 25 protected forms of the above, wherein R represents, independently for each occurrence, hydrogen, or substituted or unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein each occurrence of p independently represents an integer from 0-10.

30 115. The compound of claim 108, wherein R_C is substituted with at least one phosphorus moiety of formula i or iv.

35 116. The compound of claim 108 or 112, wherein R_1 is hydrogen.

5 117. The compound of claim 108 or 112, wherein R_D is hydrogen.

118. The compound of claim 108 or 112, wherein R_B is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl optionally substituted with one or more halogen groups.

10 119. The compound of claim 118, wherein the halogen is chlorine.

120. The compound of claim 118, wherein R_B is phenyl.

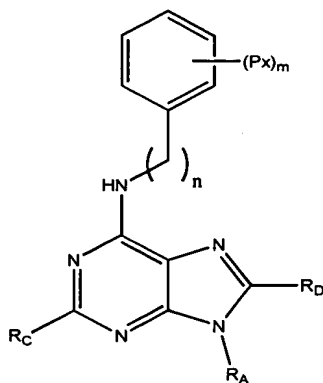
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15 121. The compound of claim 108 or 112, wherein R_A is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety optionally substituted with one or more hydroxyl moieties.

122. The compound of claim 108 or 112, wherein R_A is a substituted or unsubstituted branched or unbranched, cyclic or acyclic aliphatic or heteroaliphatic
20 moiety optionally substituted by one or more hydroxyl moieties.

123. The compound of claim 108 or 112, wherein R_A is a branched or unbranched, cyclic or acyclic aliphatic or heteroaliphatic moiety.

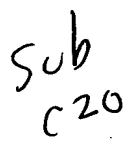
25 124. The compound of claim 123, wherein R_A is $\text{CH}(\text{CH}_3)_2$, Me, cyclopentyl or cyclohexyl.

125. A compound having the structure:



wherein R_A is hydrogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; R_C and R_D are each independently hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, or $-ZR_E$, wherein Z is $-O-$, $-S-$, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, wherein in each of the foregoing groups each aliphatic, heteroaliphatic, alkylaryl, or alkylheteroaryl moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

wherein n is 0-2; wherein P_x is a phosphorus containing moiety having the structure -P(X)YR_GYR_H, wherein X is independently an alkyl moiety, =O or =S; R_G and R_H, for each occurrence, are independently hydrogen, or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and each occurrence of Y is independently a covalent bond, -O-, -S- or N(R_J)₂, wherein R_J, for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl; or is a phosphorus moiety having any one of structures i-viii:

[illegible]

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127. The compound of claim 125, wherein each occurrence of Y is O.

~~129 The compound of claim 7aa, wherein the aryl moiety is further substituted with 0-3 substituents selected from the group consisting of halogen, lower alkyl, lower alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino, amido, amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl, sulfonamido, phosphoryl, phosphorothioate, phosphonate, phosphinate, -(CH₂)_palkyl, -(CH₂)_palkenyl, -(CH₂)_palkynyl, -(CH₂)_paryl, -(CH₂)_paralkyl, -~~

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Year	1900	1901	1902	1903	1904	1905	1906	1907	1908	1909	1910	1911	1912	1913	1914	1915	1916	1917	1918	1919	1920	1921	1922	1923	1924	1925	1926	1927	1928	1929	1930	1931	1932	1933	1934	1935	1936	1937	1938	1939	1940	1941	1942	1943	1944	1945	1946	1947	1948	1949	1950	1951	1952	1953	1954	1955	1956	1957	1958	1959	1960	1961	1962	1963	1964	1965	1966	1967	1968	1969	1970	1971	1972	1973	1974	1975	1976	1977	1978	1979	1980	1981	1982	1983	1984	1985	1986	1987	1988	1989	1990	1991	1992	1993	1994	1995	1996	1997	1998	1999	2000	2001	2002	2003	2004	2005	2006	2007	2008	2009	2010	2011	2012	2013	2014	2015	2016	2017	2018	2019	2020	2021	2022	2023	2024	2025	2026	2027	2028	2029	2030	2031	2032	2033	2034	2035	2036	2037	2038	2039	2040	2041	2042	2043	2044	2045	2046	2047	2048	2049	2050	2051	2052	2053	2054	2055	2056	2057	2058	2059	2060	2061	2062	2063	2064	2065	2066	2067	2068	2069	2070	2071	2072	2073	2074	2075	2076	2077	2078	2079	2080	2081	2082	2083	2084	2085	2086	2087	2088	2089	2090	2091	2092	2093	2094	2095	2096	2097	2098	2099	2100
1900	1901	1902	1903	1904	1905	1906	1907	1908	1909	1910	1911	1912	1913	1914	1915	1916	1917	1918	1919	1920	1921	1922	1923	1924	1925	1926	1927	1928	1929	1930	1931	1932	1933	1934	1935	1936	1937	1938	1939	1940	1941	1942	1943	1944	1945	1946	1947	1948	1949	1950	1951	1952	1953	1954	1955	1956	1957	1958	1959	1960	1961	1962	1963	1964	1965	1966	1967	1968	1969	1970	1971	1972	1973	1974	1975	1976	1977	1978	1979	1980	1981	1982	1983	1984	1985	1986	1987	1988	1989	1990	1991	1992	1993	1994	1995	1996	1997	1998	1999	2000	2001	2002	2003	2004	2005	2006	2007	2008	2009	2010	2011	2012	2013	2014	2015	2016	2017	2018	2019	2020	2021	2022	2023	2024	2025	2026	2027	2028	2029	2030	2031	2032	2033	2034	2035	2036	2037	2038	2039	2040	2041	2042	2043	2044	2045	2046	2047	2048	2049	2050	2051	2052	2053	2054	2055	2056	2057	2058	2059	2060	2061	2062	2063	2064	2065	2066	2067	2068	2069	2070	2071	2072	2073	2074	2075	2076	2077	2078	2079	2080	2081	2082	2083	2084	2085	2086	2087	2088	2089	2090	2091	2092	2093	2094	2095	2096	2097	2098	2099	2100	

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~~145. The compound of claim 144, wherein said alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety is further substituted with one or more groups selected from the group consisting of halogen, branched or unbranched alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.~~

146. The compound of claim 144, wherein R_C is a substituted or unsubstituted methoxy, ethoxy, propyloxy, butyloxy, or pentyloxy group.

147. The compound of claim 144, wherein R_C is an alkoxy moiety further substituted with an amino, heteroalkyl or heteroaryl moiety.

148. The compound of claim 147, wherein R_C is an alkoxy moiety substituted with a pyrazolyl moiety.

149. The compound of claim 147, wherein R_C is an alkoxy moiety substituted with a pyridyl moiety.

150. The compound of claim 125, wherein R_E is $-ZR_E$, and Z is $-S-$; and R_E is a
 branched or unbranched, cyclic or acyclic, substituted or unsubstituted alkyl or
 25 heteroalkyl moiety; or is a substituted or unsubstituted aryl, heteroaryl, alkylaryl, or
 alkylheteroaryl moiety.

151. The compound of claim 150, wherein said alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety is further substituted with one or more groups
30 selected from the group consisting of halogen, branched or unbranched alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

152. The compound of claim 151, wherein R_C is a substituted or unsubstituted methylthio, ethylthio, propylthio, butylthio, or pentylthio.

Page 151 of 170

5 153. The compound of claim 152, wherein R_C is an thioalkyl moiety further substituted with an amino, heteroalkyl or heteroaryl moiety.

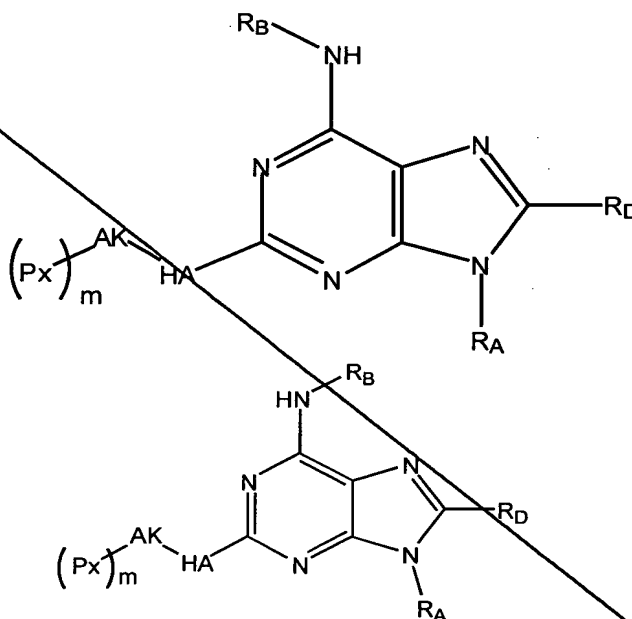
154. The compound of claim 153, wherein R_C is an thioalkyl moiety substituted with a pyrazolyl moiety.

10 155. The compound of claim 153, wherein R_C is an thioalkyl moiety substituted with a pyridyl moiety.

15 156. The compound of claim 153, wherein R_A is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety optionally substituted with one or more hydroxyl moieties.

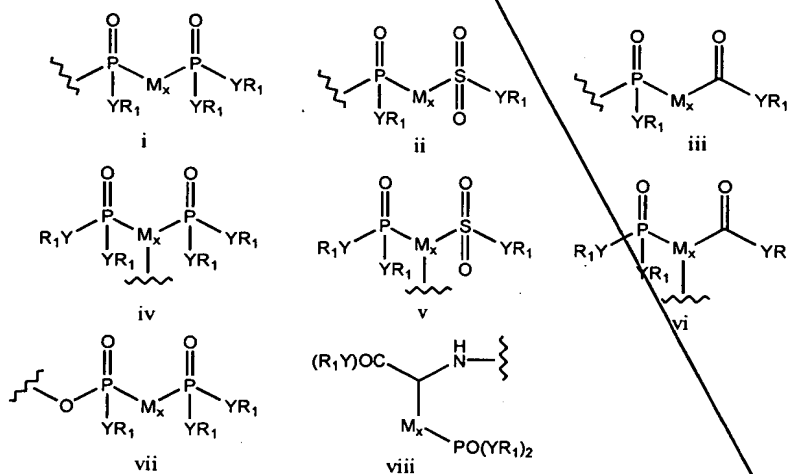
157. The compound of claim 125, wherein R_A is a substituted or unsubstituted branched or unbranched, cyclic or acyclic aliphatic or heteroaliphatic moiety optionally substituted by one of more hydroxyl moieties.

20 158. A compound having the structure:



contd.
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- 5 wherein R_A is hydrogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; R_B is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; and R_D is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, or - ZR_E , wherein Z is -O-, -S-, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, wherein in each of the foregoing groups each aliphatic, heteroaliphatic, alkylaryl, or alkylheteroaryl moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;
- 10 wherein AK is a linear or branched, cyclic or acyclic, substituted or unsubstituted aliphatic or heteroaliphatic moiety; and wherein HA is absent, -O-, -S- or -NH-;
- 15 wherein P_x is a phosphorus containing moiety having the structure - $P(X)YR_GYR_H$, wherein X is independently an alkyl moiety, =O or =S; R_G and R_H , for each occurrence, are independently hydrogen, or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and each occurrence of Y is independently a covalent bond, -O-, -S- or $N(R_J)_2$, wherein R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl;
- 20 or is a phosphorus moiety having any one of structures i-viii:
- 25



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wherein each occurrence of M is independently CH₂, CHV, CHOH, or CV₂; each occurrence of Y is independently a covalent bond, -O-, -S- or N(R_j)₂, wherein R_j, for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl; wherein V is a halogen; each occurrence of x is independently 1-6, and in certain embodiments is 1 or 2; and each occurrence of R₁ is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable derivative; and wherein m is 1-3.

159. The compound of claim 158, wherein Px is formula i-vii, m is 1, and one or more occurrences of Y is O.

160. The compound of claim 158, wherein one or more occurrences of Y is a covalent bond.

161. The compound of claim 158, wherein HA is -O- or -S-.

162. The compound of claim 158, wherein HA is -NH-

163. The compound of claim 158, wherein HA is absent.

164. The compound of claim 158, wherein Px is -P(X)YR_GYR_H, one or more occurrences of Y is O, X is O, R_G and R_H are each hydrogen or aliphatic, and m is 2 or 3.

165. The compound of claim 158, wherein one or more occurrences of R₁ is hydrogen.

166. The compound of claim 158, wherein one or more of R_G, R_H, R₁ are each independently alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

167. The compound of claim 158, wherein R_D is hydrogen.

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168. The compound of claim 158, wherein R_B is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl optionally substituted with one or more halogen groups.

169. The compound of claim 168, wherein the halogen is chlorine.

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170. The compound of claim 168, wherein R_B is phenyl.

171. The compound of claim 158, wherein R_A is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety optionally substituted with one or more hydroxyl moieties.

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172. The compound of claim 158, wherein R_A is a substituted or unsubstituted branched or unbranched, cyclic or acyclic aliphatic or heteroaliphatic moiety optionally substituted by one or more hydroxyl moieties.

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173. The compound of claim 158, wherein R_A is a branched or unbranched, cyclic or acyclic aliphatic or heteroaliphatic moiety.

174. The compound of claim 173, wherein R_A is $\text{CH}(\text{CH}_3)_2$, Me, cyclopentyl or cyclohexyl.

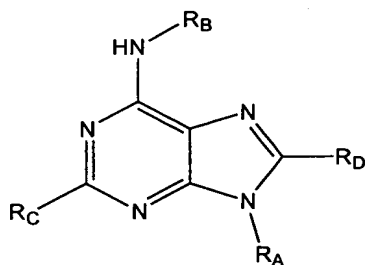
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175. A pharmaceutical composition comprising the compound of claim 1, 2, 125, or 158, and a pharmaceutically acceptable carrier or excipient.

176. A method for treating bone-related disorders comprising:

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administering to a subject in need thereof a therapeutically effective amount of a compound having the formula:



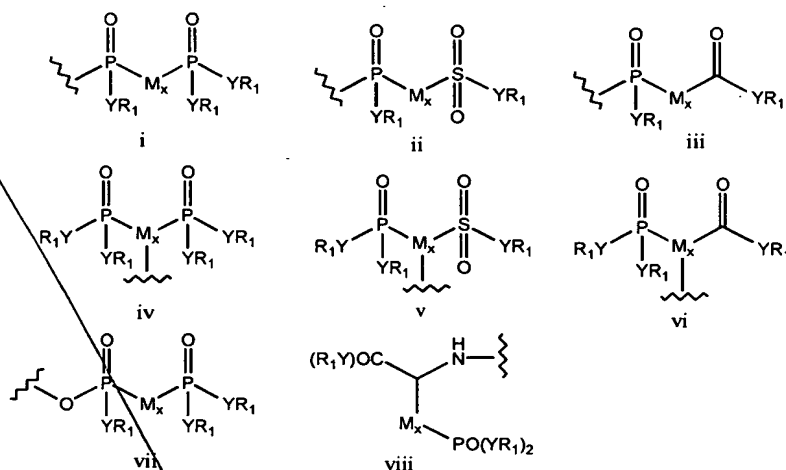
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wherein R_A is hydrogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; R_B is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; R_C and R_D are each independently hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, or $-ZR_E$, wherein Z is $-O-$, $-S-$, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, wherein in each of the foregoing groups each aliphatic, heteroaliphatic, alkylaryl, or alkylheteroaryl moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

whereby at least one of R_A , R_B , R_C or R_D as defined above, is substituted by one or more phosphorus moieties.

177. The method of claim 176, wherein, either of R_B or R_C is a cyclic or acyclic, substituted or unsubstituted aliphatic or heteroaliphatic moiety, or is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety substituted with one or more phosphorus moieties, wherein the one or more phosphorous moieties are each independently a group having the structure $-P(X)YR_GYR_H$, wherein X is independently an alkyl moiety, $=O$ or $=S$; R_G and R_H , for each occurrence, are independently hydrogen, or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and each occurrence of Y is independently a covalent bond, $-O-$, $-S-$ or $N(R_J)_2$, wherein R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl;

5 or the one or more phosphorus moieties are each independently a group having any one of structures i-viii having the structure:

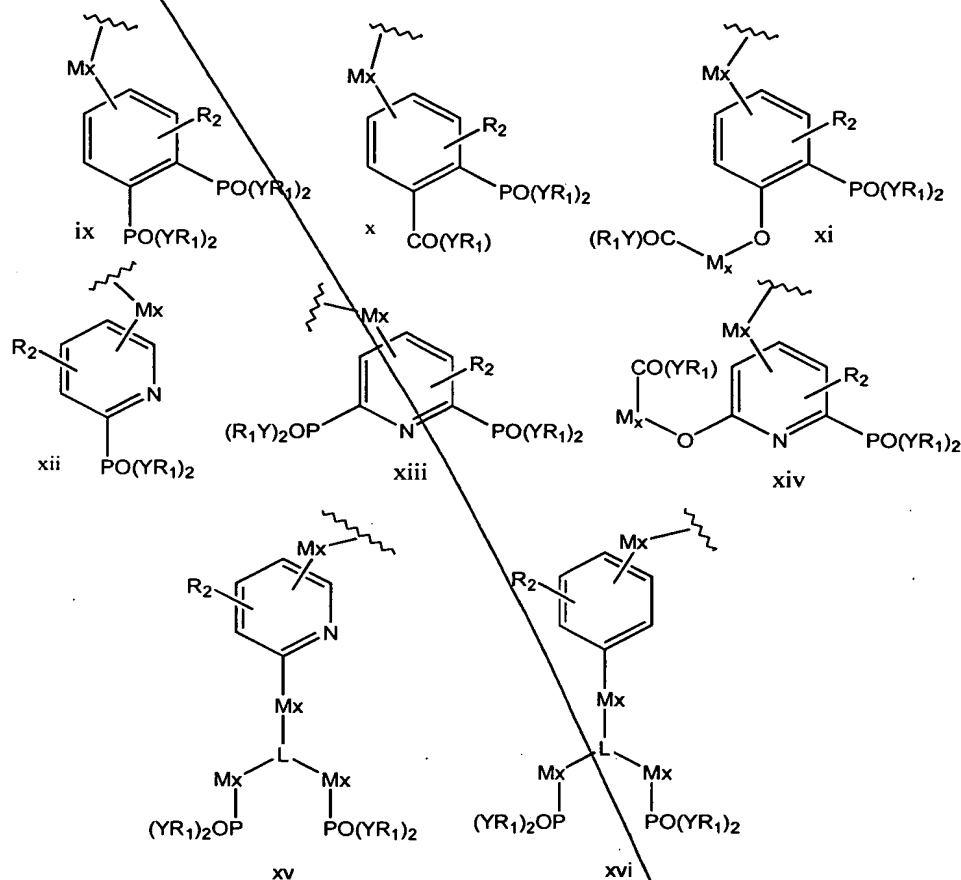


10 wherein each occurrence of M is independently CV_2 , -NV-, -O- or -S-, wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic; each occurrence of Y is independently a covalent bond, -O-, -S- or $N(R_J)_2$, wherein R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 1-6, and in certain embodiments is 1 or 2; and each occurrence of R_1 is independently 15 hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable derivative.

178. The method of claim 176, wherein R_A , R_B , R_C or R_D , as defined above, is 20 additionally substituted with 0-3 substituents selected from the group consisting of halogen, lower alkyl, lower alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino, amido, amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl, sulfonamido, phosphoryl, phosphorothioate, phosphonate, phosphinate, $-(CH_2)_p$ alkyl, $-(CH_2)_p$ alkenyl, $-(CH_2)_p$ alkynyl, - 25 $(CH_2)_p$ aryl, $-(CH_2)_p$ alkaryl, $-(CH_2)_p$ OH, $-(CH_2)_p$ O-lower alkyl, $-(CH_2)_p$ O-lower alkenyl, $-O(CH_2)_nR$, $-(CH_2)_p$ SH, $-(CH_2)_p$ S-lower alkyl, $-(CH_2)_p$ S-lower alkenyl, - $S(CH_2)_nR$, $-(CH_2)_pN(R)_2$, $-(CH_2)_p$ NR-lower alkyl, $-(CH_2)_p$ NR-lower alkenyl, -

5 NR(CH₂)_nR, and protected forms of the above, wherein R represents, independently for each occurrence, hydrogen, or substituted or unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein each occurrence of p independently represents an integer from 0-10.

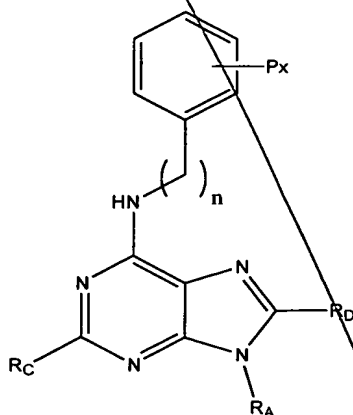
10 179. The method of claim 176, wherein R_B, R_C or R_D is independently a moiety having the structure ix-xvi:



15 wherein each occurrence of M is independently CV₂, -NV-, -O- or -S-, wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic; each occurrence of Y is independently a covalent bond, -O-, -S- or N(R_j)₂, wherein R_j, for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 0-6, and in certain embodiments is 1-2; wherein L is CW, wherein W is hydrogen, aliphatic, heteroaliphatic, or hydroxyl; and each occurrence of R₁ is independently

5 hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a
 prodrug or pharmaceutically acceptable derivative; and
 wherein each occurrence of R_2 independently represents from 0-3 substituents
 independently selected from the group consisting of halogen, lower alkyl, lower
 alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino,
 10 amido, amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl,
 sulfonamido, phosphoryl, phosphorothioate, phosphonate, phosphinate, $-(CH_2)_p$ alkyl,
 $-(CH_2)_p$ alkenyl, $-(CH_2)_p$ alkynyl, $-(CH_2)_p$ aryl, $-(CH_2)_p$ aralkyl, $-(CH_2)_p$ OH, $-(CH_2)_p$ O-
 lower alkyl, $-(CH_2)_p$ O-lower alkenyl, $-O(CH_2)_nR$, $-(CH_2)_pSH$, $-(CH_2)_pS$ -lower alkyl,
 $-(CH_2)_pS$ -lower alkenyl, $-S(CH_2)_nR$, $-(CH_2)_pN(R)_2$, $-(CH_2)_pNR$ -lower alkyl, -
 15 $(CH_2)_pNR$ -lower alkenyl, $-NR(CH_2)_pR$, and protected forms of the above, wherein R
 represents, independently for each occurrence, hydrogen, or substituted or
 unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein
 each occurrence of p independently represents an integer from 0-10.

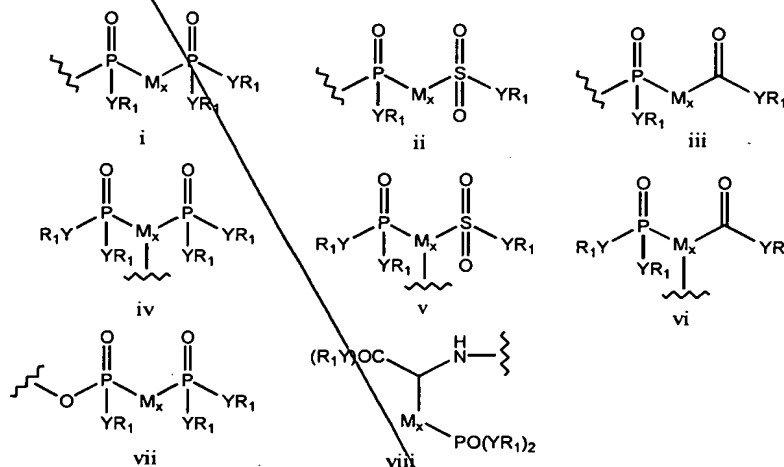
20 180. A method of treating or preventing bone disorders comprising administering to
 a subject in need thereof a compound having the formula:



25 wherein R_A is hydrogen, an aliphatic, heteroaliphatic, aryl, heteroaryl,
 alkylaryl, or alkylheteroaryl moiety; R_C and R_D are each independently hydrogen,
 halogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl
 moiety, or $-ZR_E$, wherein Z is $-O-$, $-S-$, or NR_F , wherein R_E is hydrogen, or an

5 aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, wherein in each of the foregoing groups each aliphatic, heteroaliphatic, alkylaryl, or alkylheteroaryl moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted
10 or unsubstituted;

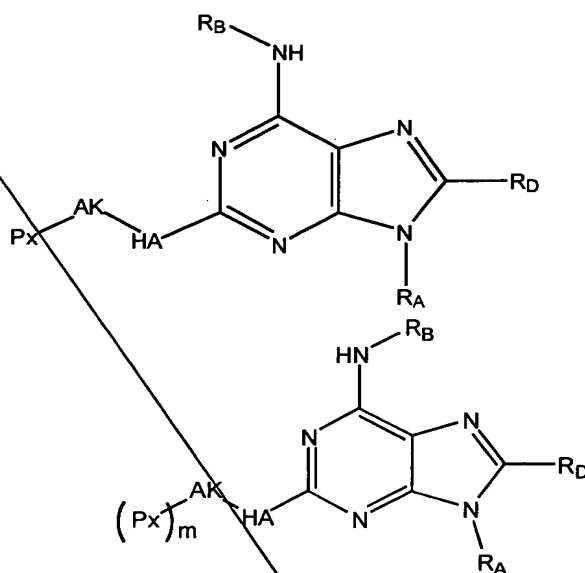
wherein n is 0-2; wherein P_x is a phosphorus containing group having the structure $-P(X)YR_GYR_H$, wherein X is independently an alkyl moiety, $=O$ or $=S$; R_G and R_H , for each occurrence, are independently hydrogen, or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl,
15 and each occurrence of Y is independently a covalent bond, $-O-$, $-S-$ or $N(R_J)_2$, wherein R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl;
or is a phosphorus moiety any one of structures i-viii:



20 wherein each occurrence of M is independently CH_2 , CHV , $CHOH$, or CV_2 ; each occurrence of Y is independently a covalent bond, $-O-$, $-S-$ or $N(R_J)_2$, wherein R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl; wherein V is a halogen; each occurrence of x
25 is independently 1-6, and in certain embodiments is 1 or 2; and each occurrence of R_1 is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable derivative; and wherein M is 1-3.

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181. A method of treating or preventing bone disorders comprising administering to a subject in need thereof a therapeutically effective amount of a compound having the formula:



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wherein R_A is hydrogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; R_B is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; and R_D is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, or -
 15 ZR_E , wherein Z is -O-, -S-, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, wherein in each of the foregoing groups each aliphatic, heteroaliphatic, alkylaryl, or alkylheteroaryl moiety may be branched or unbranched, cyclic or acyclic and
 20 substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

wherein AK is a linear or branched, cyclic or acyclic, substituted or unsubstituted aliphatic or heteroaliphatic moiety; and wherein HA is absent, -O-, -S- or -NH-;

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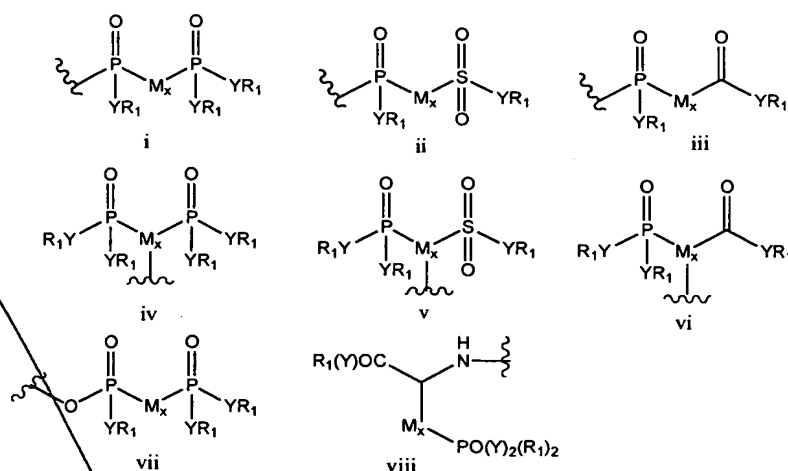


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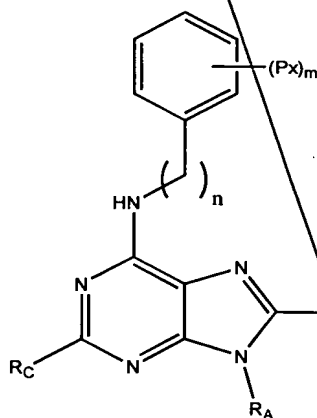
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wherein each occurrence of M is independently CV_2 , $-NV-$, $-O-$ or $-S-$,
 wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic;
 each occurrence of Y is independently a covalent bond, $-O-$, $-S-$ or $N(R_J)_2$, wherein
 10 R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 1 or 2;
 and each occurrence of R_1 is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable
 15 derivative.

186. A method for treating cancer comprising administering to a subject in need
 thereof an effective amount of a compound having the structure:



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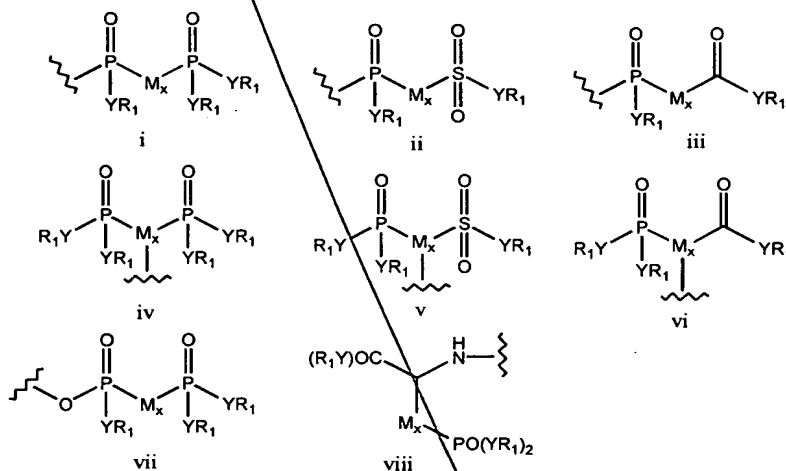
wherein R_A is hydrogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; R_C and R_D are each independently hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, or $-ZR_E$, wherein Z is $-O-$, $-S-$, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, wherein in each of the foregoing groups each aliphatic, heteroaliphatic, alkylaryl, or alkylheteroaryl moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

15

wherein n is 0-2; wherein P_x is a phosphorus containing group having the structure $-P(X)YR_GYR_H$, wherein X is independently an alkyl moiety, $=O$ or $=S$; R_G and R_H , for each occurrence, are independently hydrogen, or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and each occurrence of Y is independently a covalent bond, $-O-$, $-S-$ or $N(R_J)_2$, wherein R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl;

20

or is a phosphorus moiety having any one of structures i-viii:



25

wherein each occurrence of M is independently CH_2 , CHV , $CHOH$, or CV_2 ; each occurrence of Y is independently a covalent bond, $-O-$, $-S-$ or $N(R_J)_2$, wherein R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl,

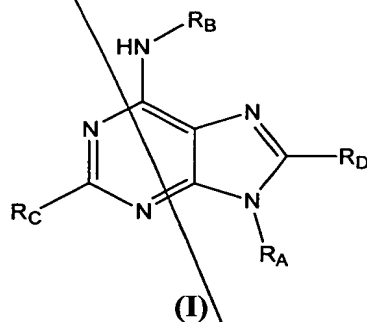
5 heteroaryl, alkylaryl, or alkylheteroaryl; wherein V is a halogen; each occurrence of x is independently 1-6, and in certain embodiments is 1 or 2; and each occurrence of R₁ is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable derivative.

10 187. The method of claim 184 or 186, wherein one or more occurrences of Y is O.

188. The method of claim 184 or 186, wherein one or more occurrences of Y is a covalent bond.

15 189. The method of claim 184 or 186, wherein one or more occurrences of R₁ is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety.

190. A method for inhibiting the growth of tumor cells comprising contacting cells with an effective amount of a compound having the structure:



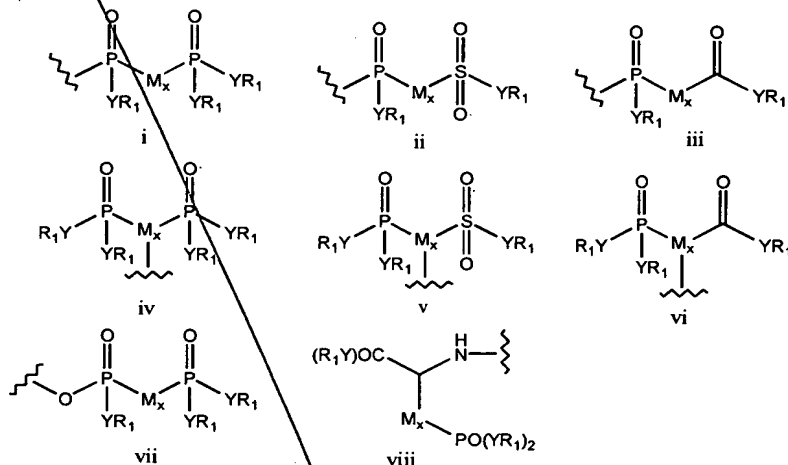
wherein R_A is hydrogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; R_B is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; R_C and R_D are each independently
25 hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, or -Z R_E, wherein Z is -O-, -S-, or NR_F, wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, wherein in each of the foregoing groups each
30 aliphatic, heteroaliphatic, alkylaryl, or alkylheteroaryl moiety may be branched or

5 unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

whereby at least one of R_A , R_B , R_C or R_D as defined above, is substituted by one or more phosphorus moieties;

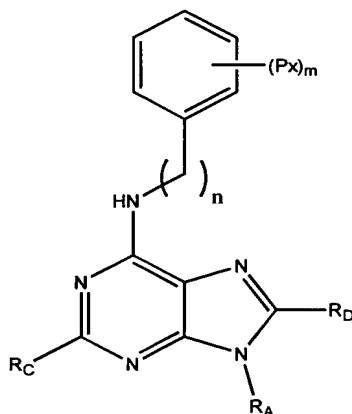
10 wherein R_A is not a heteroaliphatic moiety substituted by one or more phosphorus moieties.

191. The method of claim 190, wherein either of R_B or R_C is a cyclic or acyclic, substituted or unsubstituted aliphatic or heteroaliphatic moiety, or is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety substituted with one or more
15 phosphorus moieties having the structure:

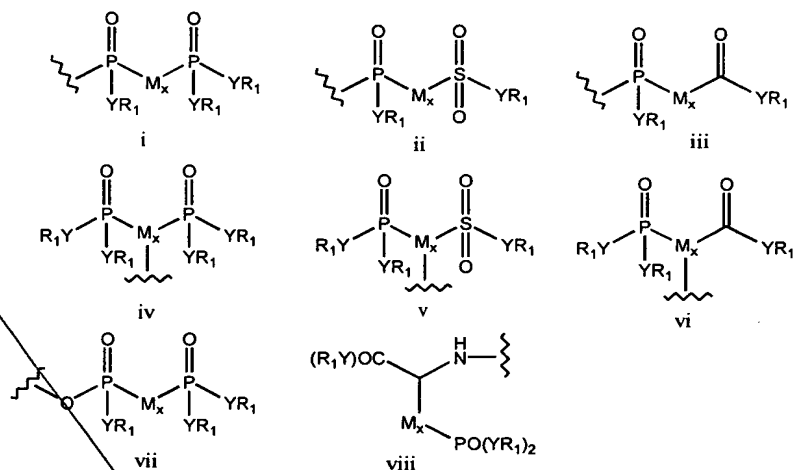


wherein each occurrence of M is independently CV_2 , -NV-, -O- or -S-, wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic; each
20 occurrence of Y is independently a covalent bond, -O-, -S- or $N(R_1)_2$, wherein R_1 , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 1 or 2; and each occurrence of R_1 is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable
25 derivative.

- 5 192. A method for inhibiting the growth of tumor cells comprising administering to a subject in need thereof a therapeutically effective amount of a compound having the structure:



- 10 wherein R_A is hydrogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; R_C and R_D are each independently hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, or $-ZR_E$, wherein Z is $-O-$, $-S-$, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F
- 15 is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, wherein in each of the foregoing groups each aliphatic, heteroaliphatic, alkylaryl, or alkylheteroaryl moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;
- 20 wherein n is 0-2; wherein P_x is a phosphorus containing group having any one of structures i-viii:



5

wherein each occurrence of M is independently CH₂, CHV, CHOH, or CV₂; each occurrence of Y is independently a covalent bond, -O-, -S- or N(R₁)₂, wherein R₁, for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl; wherein V is a halogen; each occurrence of x is independently 1-6, and in certain embodiments is 1 or 2; and each occurrence of R₁ is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable derivative.

15 193. The method of claim 190 or 192, wherein one or more occurrences of Y is O.

194. The method of claim 190 or 192, wherein one or more occurrences of Y is a covalent bond.

20 195. The method of claim 190 or 192, wherein one or more occurrences of R₁ is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety.

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